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| //A 61 K 31/47 | ADZ | | 発明の数　1 |
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（全 11 頁）

⑤4 ピロロ〔3,2,1—ij〕キノリン—5—カルボン酸誘導体

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⑦2発 明 者　中川量之
徳島市川内町大松774番地の1
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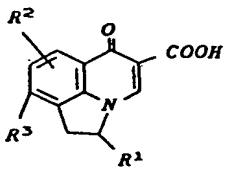
明　細　書

発明の名称　ピロロ〔3,2,1-ij〕キノリン-5-カルボン酸誘導体

特許請求の範囲

(1)　一般式

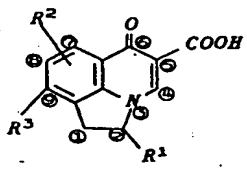


〔式中 $R^1$ は水素原子又は低級アルキル基を、$R^2$ は水素原子又はハロゲン原子を、$R^3$ は1-ピロリジニル基、モルホリノ基、チオモルホリノ基、1,2,5,6-テトラヒドロ-1-ピリジル基、1-ピペリジニル基、又は置換基として水酸基を有することのある置換基としてオキソ基もしくはハロゲン置換低級アルキル基を有する1-ピペラジニル基を示す。〕で表わされるピロロ〔3,2,1-ij〕キノリン-5-カルボン酸誘導体及びその塩。

発明の詳細な説明

本発明は新規なピロロ〔3,2,1-ij〕キノリン-5-カルボン酸誘導体に関する。

本発明のピロロ〔3,2,1-ij〕キノリン-5-カルボン酸誘導体は、文献未載の新規化合物であつて下記一般式(1)で表わされる。



(1)

〔式中 $R^1$ は水素原子又は低級アルキル基を、$R^2$ は水素原子又はハロゲン原子を、$R^3$ は1-

F432 F433 F553 F653 F740 G010 G019 G100 H100 H101 H102 H103 H121
H122 H141 H2 H201 H211 H401 H402 H421 H422 H481 H521 H522 H601 H602
H603 H604 H608 H609 H641 H681 H682 H683 H684 H685 H686 H689 J0 J011
J012 J013 J1 J111 J221 J222 J311 J312 J321 J322 J5 J521 J522 J523
L941 M123 M129 M132 M135 M139 M210 M211 M212 M213 M214 M215 M216
M231 M232 M233 M240 M262 M272 M273 M280 M281 M282 M283 M311 M312
M313 M314 M315 M321 M322 M331 M332 M333 M334 M340 M342 M343 M344
M353 M373 M391 M412 M511 M521 M530 M531 M532 M540 M630 M640 M650
M710 M903 P220
ng Index Numbers: 00245; 02907; 03493
erwent Registry Numbers: 0247-S; 0918-S; 0919-S; 1053-S
PN=JP 57176987

| ef | Items | Index-term |
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03474136
PI Acc No: 1982-22102E/198212
6,7-Dihydro 1-oxo 1H,5H-benzo(I,J)-quinolizine-2-carboxylic acids - and
1,2-dihydro 6-oxo-pyrrolo(3,2,1-I,J) quinoline-5-carboxylic acid derivs.
are antibacterials
atent Assignee: OTSUKA PHARM CO LTD (SAKA )
nventor: ISHIKAWA H; KANO M; NAKAGAWA K; UNO T
umber of Countries: 020 Number of Patents: 032
atent Family:

| atent No | Kind | Date | Applicat No | Kind | Date | Week | |
|---|---|---|---|---|---|---|---|
| | | | | | | 198212 | B |
| E 891046 | A | 19820301 | | | | | |
| B 2086905 | A | 19820519 | GB 8133890 | A | 19811110 | 198220 | |
| E 3144455 | A | 19820624 | DE 3153221 | A | 19811109 | 198226 | |
| R 2493849 | A | 19820514 | | | | 198226 | |
| P 57081486 | A | 19820521 | JP 80158652 | A | 19801110 | 198226 | |
| L 8105075 | A | 19820601 | | | | 198226 | |
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| K 8104952 | A | 19820621 | | | | 198228 | |
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| A 8107733 | A | 19820903 | | | | 198247 | |
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| 90022074 | B | 19900517 | JP 8163170 | A | 19810424 | 199024 |
| 3144455 | C | 19901004 | | | | 199040 |
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| 3153221 | C | 19910704 | | | | 199127 |
| 8104748 | A | 19920215 | | | | 199210 |
| 193457 | B | 19990701 | NL 815075 | A | 19811110 | 199931 |

riority Applications (No Type Date): JP 8163170 A 19810424; JP 80158652 A 19801110; JP 80158625 A 19801110

atent Details:

| atent No | Kind | Lan | Pg | Main IPC | Filing Notes |
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| E 891046 | A | | 85 | | |
| L 193457 | B | | | C07D-471/04 | |

bstract (Basic): BE 891046 A

Pyrrolo (3,2,1-ij)- quinoline-5-carboxylic acid derivs. and tetra-hydro benzo (ij) quinolizine-2 -carboxylic acid derivs. of formula (I) and their salts are new. R1 is H or lower alkyl; R2 is H or halo; R3 is pyrrolidino (opt. substd. by hydroxymethyl), 1,2,5,6-tetrahydro-1-pyridyl, piperazino substd. by oxo or halo lower alkyl or a (R4)m-substd. morpholino, thiamorpholino or piperidino gp. of formula (A); R4 is H, lower alkyl, lower alkoxy, hydroxy, phenyl lower alkyl, lower alkanoyloxy, amino opt. substd. by lower alkyl or lower alkanoyl, oxo or carbamoyl; Z is O, S or -CH2-; m is 1 or 2 and n is 1 or 2. With the condition that when n is 2, then R3 is not halo-alkyl substd.-piperazino.

(I) are antibacterials effective against gram positive and gram-negative strains resistant to classical antibiotics, such as penicillins, cephalosporins, streptomycin, etc., and which have low toxicity to mammals and fish. MIC tests are described. (I) may be administered orally, rectally or parenterally or used as sterilising agents or antiseptics. Usual doses are 0.2-100 mg/kg taken 3-4 times daily.

Title Terms: DI; HYDRO; OXO; BENZO; QUINOLIZINE; CARBOXYLIC; ACID; DI; HYDRO; OXO; PYRROLO; QUINOLINE; CARBOXYLIC; ACID; DERIVATIVE; ANTIBACTERIAL

Derwent Class: B02

International Patent Class (Main): C07D-471/04

International Patent Class (Additional): A61K-031/395; A61K-031/43; A61K-031/47; C07D-209/00; C07D-215/22; C07D-221/00; C07D-455/00; C07D-471/06; C07D-487/04; C07D-487/06

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